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Prodn. of highly stereoselective beta-lactam deriv. - by reacting lithium enolate of organic ester with imine coordinated with trialkyl-aluminium in THF

Patent Assignee: TOYO STAUFFER CHEM YG (STAU); TOYO STAUFFER CHEM (STAU

Number of Countries: 001 Number of Patents: 002

Patent Family:

Patent No Kind Date Applicat No Kind Date Week JP 6056770 19940301 JP 85219681 Α 19851002 199414 JP 91125207 Α 19851002 JP 95051558 **B2** 19950605 JP 85219681 Α 19851002 199527 JP 91125207 Α 19851002

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JP 6056770 A 6 C07D-205/08 Div ex application JP 85219681 JP 95051558 B2 6 C07D-205/08 Div ex application JP 85219681 Based on patent JP 6056770

Abstract (Basic): JP 6056770 A

Beta-lactam deriv. is obtd. by reaction of a lithium enolate of an organic ester with an organic imine cpd. An imine coordinated with a trialkylaluminium is used as the organic imine cpd. and the reaction is carried out in THF.

ADVANTAGE - The prod. is prepd. with high selectivity.

In an example, 12 mmol. of n-butyl lithium (15% hexane soln.) was added to 7 ml. of n-hexane soln. of 12 mmol. diisopropylamine while ice-cooling under N2 stream. The mixt. was stirred for 30 min. and then n-hexane was distilled off in vacuo. 5 ml. THF was added to the residue and the mixt. was cooled to (-) 78 deg.C. 10 mmol. Of ethyl isobutyrate was added in 3 minutes and then THF soln. of 10 mmol. C6H5CH=NC6H5 and THF soln. of 10 mmol. Aluminium tri-acetate were added. The mixt. was heated gradually to room temp. in 2 hrs. It was hydrolysed with 1N HCl and the hydrolysate was extracted by benzene. Benzene was distilled off to give the beta-lactam. The yield was 75% and the cis-trans ratio was 100:0.

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Title Terms: PRODUCE; HIGH; STEREOSELECTIVE; BETA; LACTAM; DERIVATIVE; REACT; LITHIUM; ENOLATE; ORGANIC; ESTER; IMINE; COORDINATE; TRI; ALKYL; ALUMINIUM; THF

Index Terms/Additional Words: TETRA; HYDRO; FURAN

Derwent Class: B03

International Patent Class (Main): C07D-205/08

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